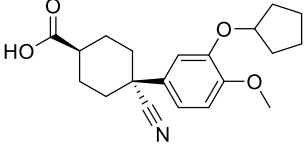


Product data sheet



MedKoo Cat#: 500450 Name: Cilomilast CAS#: 153259-65-5 Chemical Formula: C ₂₀ H ₂₅ NO ₄ Exact Mass: 343.17836 Molecular Weight: 343.42	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Cilomilast (Ariflo, SB-207,499) is a drug which was developed for the treatment of respiratory disorders such as asthma and Chronic Obstructive Pulmonary Disease (COPD). It is orally active and acts as a selective Phosphodiesterase-4 inhibitor. Clinical trial results showed reasonable efficacy for treating COPD, but side effects were problematic and it is unclear whether cilomilast will be marketed, or merely used in the development of newer drugs. Cilomilast is a second-generation PDE4 inhibitor with antiinflammatory effects that target bronchoconstriction, mucus hypersecretion, and airway remodeling associated with COPD.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	61.33	178.59
Ethanol	35.0	101.92

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.91 mL	14.56 mL	29.12 mL
5 mM	0.58 mL	2.91 mL	5.82 mL
10 mM	0.29 mL	1.46 mL	2.91 mL
50 mM	0.06 mL	0.29 mL	0.58 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

- Munisso MC, Kang JH, Tsurufuji M, Yamaoka T. Cilomilast enhances osteoblast differentiation of mesenchymal stem cells and bone formation induced by bone morphogenetic protein 2. *Biochimie*. 2012 Nov;94(11):2360-5. doi: 10.1016/j.biochi.2012.05.031. Epub 2012 Jun 15. PMID: 22706281.
- Pace E, Ferraro M, Uasuf CG, Giarratano A, La Grutta S, Liotta G, Johnson M, Gjomarkaj M. Cilomilast counteracts the effects of cigarette smoke in airway epithelial cells. *Cell Immunol*. 2011;268(1):47-53. doi: 10.1016/j.cellimm.2011.02.004. Epub 2011 Feb 20. PMID: 21382614.

In vivo study

- Xu M, Li S, Wang J, Huang S, Zhang A, Zhang Y, Gu W, Yu X, Jia Z. Cilomilast Ameliorates Renal Tubulointerstitial Fibrosis by Inhibiting the TGF-β1-Smad2/3 Signaling Pathway. *Front Med (Lausanne)*. 2021 Jan 21;7:626140. doi: 10.3389/fmed.2020.626140. PMID: 33553218; PMCID: PMC7859332.

Product data sheet



2. McLean JH, Smith A, Rogers S, Clarke K, Darby-King A, Harley CW. A phosphodiesterase inhibitor, cilomilast, enhances cAMP activity to restore conditioned odor preference memory after serotonergic depletion in the neonate rat. *Neurobiol Learn Mem.* 2009 Jul;92(1):63-9. doi: 10.1016/j.nlm.2009.02.003. Epub 2009 Feb 20. PMID: 19233302.

7. Bioactivity

Biological target:

Cilomilast (SB-207499) is an inhibitor of Phosphodiesterase 4 (PDE4), with IC50s of ~100 and 120 nM for LPDE4 and HPDE4, respectively.

In vitro activity

It was found that cilomilast enhances the osteoblastic differentiation of MSCs equally well as rolipram in primary cultured MSCs.

Reference: *Biochimie.* 2012 Nov;94(11):2360-5. <https://pubmed.ncbi.nlm.nih.gov/22706281/>

In vivo activity

As shown in Figure 3, KIM-1 and NGAL expression was markedly increased in the UO mouse group according to western blot and qRT-PCR analyses, which was attenuated by cilomilast treatment (Figures 3A,B). The trend of NGAL change measured by immunohistochemistry was consistent with that of the protein and mRNA levels (Figure 3C). These data suggested that the amelioration of tubular injury after cilomilast treatment could protect tubular integrity and attenuate subsequent pathological events.

Reference: *Front Med (Lausanne).* 2020; 7: 626140. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7859332/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.